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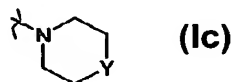
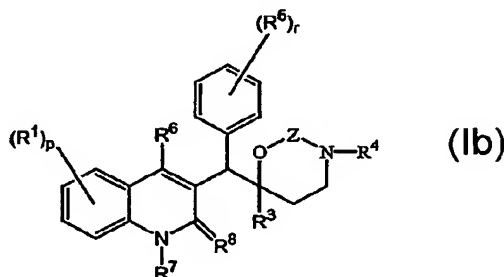
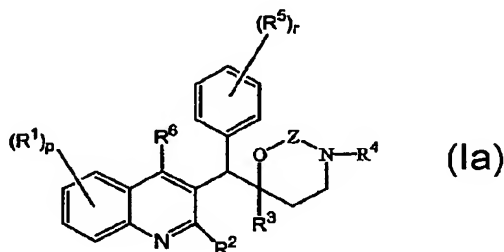
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[Continued on next page]

(54) Title: SUBSTITUTED QUINOLINES AND THEIR USE AS MYCOBACTERIAL INHIBITORS



(57) Abstract: The present invention relates to novel substituted quinoline derivatives according to the general formula (Ia) or the general formula (Ib) salts, quaternary amines, stereochemically isomeric forms, tautomeric forms and N-oxide forms thereof, wherein R<sup>1</sup> is hydrogen, halo, haloalkyl, cyano, hydroxy, Ar, Het, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl; p is 1, 2, 3 or 4; R<sup>2</sup> is hydrogen, hydroxy, thio, alkyloxy, alkyloxyalkyloxy, alkylthio, mono or di(alkyl)amino or a radical of formula (Ic); R<sup>3</sup> is alkyl, Ar, Ar-alkyl, Het or Het-alkyl; R<sup>4</sup> is hydrogen, alkyl or benzyl; R<sup>5</sup> is hydrogen, halo, haloalkyl, hydroxy, Ar, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl; or two vicinal R<sup>5</sup> radicals may be taken

together to form together with the phenyl ring to which they are attached a naphthyl; r is 1, 2, 3, 4 or 5; R<sup>6</sup> is hydrogen, alkyl, Ar or Het; R<sup>7</sup> is hydrogen or alkyl; R<sup>8</sup> is oxo; or R<sup>7</sup> and R<sup>8</sup> taken together form the radical -CH=CH-N=; Z is CH<sub>2</sub> or C(=O). The claimed compounds are useful for the treatment of mycobacterial diseases, particularly those diseases caused by pathogenic mycobacteria such as *M. tuberculosis*, *M. bovis*, *M. avium*, *M. smegmatis* and *M. marinum*. Also claimed is a pharmaceutical composition containing a compound of the present invention, the use of the claimed compounds or compositions for the manufacture of a medicament for the treatment of mycobacterial diseases and a process for preparing the claimed compounds.



FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,  
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**Declarations under Rule 4.17:**

- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(U))*
- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(Hi))*
- *of inventorship (Rule 4.17(iv))*

**(15) Information about Correction:**

see PCT Gazette No. 19/2006 of 11 May 2006

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